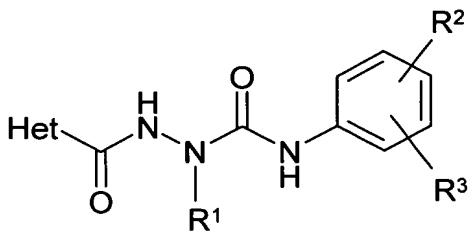
Patent Claims

1. Compounds of the formula I



I

in which

Het denotes a mono- or bicyclic aromatic heterocyclic radical having from 1 to 3 N, O and/or S atoms which is mono- or disubstituted by Hal,

R^1 denotes A, which may be mono-, di- or trisubstituted by $S(O)_mA$, Ph, NH_2, NHA, NA_2, OH, OA, $PO(OA)_2$, ethynyl, vinyl or $O(CH_2)_nPh$,

R^2 denotes H, Hal or A,

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-imino-imidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxo-pyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo-[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

A denotes H, unbranched, branched or cyclic alkyl having 1-10 C atoms,

Ph denotes phenyl,

Hal denotes F, Cl, Br or I,

n denotes 1, 2, 3, 4, 5 or 6,

m denotes 0, 1 or 2,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

2. Compounds according to Claim 1, in which

R^1 denotes alkyl having 1, 2, 3, 4, 5 or 6 C atoms, which may be substituted by ethynyl, phenyl, OA, OH or OA,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

3. Compounds according to Claim 1, in which

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-imino-imidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2-oxopiperazin-1-yl or 3-oxo-2*H*-pyridazin-2-yl,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

4. Compounds according to one or more of Claims 1-3, in which

R^2 denotes H, methyl or F,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

5. Compounds according to one or more of Claims 1-4, in which

Het denotes thienyl, furyl, pyrrolyl, benzofuranyl, benzo[b]thienyl, thiazolyl or oxazolyl, each of which is mono- or disubstituted by Hal,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

6. Compounds according to one or more of Claims 1-5, in which

Het denotes thienyl, furyl, pyrrolyl, benzofuranyl, benzo[b]thienyl, thiazolyl or oxazolyl, each of which is mono- or disubstituted by Hal,

R^1 denotes alkyl having 1, 2, 3, 4, 5 or 6 C atoms, which may be substituted by ethynyl, phenyl, OA, OH or OA,

R^2 denotes H, Hal or A,

R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-imino-imidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2-oxopiperazin-1-yl or 3-oxo-2*H*-pyridazin-2-yl,

A denotes H, unbranched, branched or cyclic alkyl having 1-10 C atoms,

Ph denotes phenyl,

Hal denotes F, Cl, Br or I,

n denotes 1, 2, 3, 4, 5 or 6,

m denotes 0, 1 or 2,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

7. Compounds according to Claim 1 selected from the group consisting of

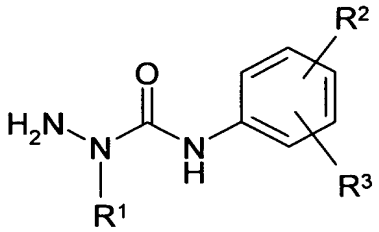
1-(5-chlorothien-2-ylcarbonyl)-4-[4-(3-oxomorpholin-4-yl)phenyl]-2-propylsemicarbazide,

1-(5-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-(prop-2-ynyl)semicarbazide,
1-(3-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-benzylsemicarbazide,
1-(5-bromothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-benzylsemicarbazide,
1-(3-chlorobenzo[b]thienyl-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)phenyl]-2-benzylsemicarbazide,
1-(5-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-benzylsemicarbazide,
1-(5-bromothien-2-ylcarbonyl)-4-[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]-2-(2-methoxyethyl)semicarbazide,
1-(3-chlorobenzo[b]thienyl-2-ylcarbonyl)-4-[3-fluoro-4-(3-oxomorpholin-4-yl)phenyl]-2-(2-methoxyethyl)semicarbazide,
1-(3-chlorothien-2-ylcarbonyl)-4-[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]-2-(2-methoxyethyl)semicarbazide,
1-(5-chlorothien-2-ylcarbonyl)-4-[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]-2-(2-methoxyethyl)semicarbazide,
1-(3-chlorothien-2-ylcarbonyl)-4-[4-(2-oxo-1*H*-pyrazin-1-yl)phenyl]-2-cyclopropylmethylsemicarbazide,
1-(3-chlorothien-2-ylcarbonyl)-4-[4-(2-oxo-1*H*-pyridin-1-yl)phenyl]-2-cyclopropylmethylsemicarbazide,
1-(3-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-cyclopropylmethylsemicarbazide,
1-(5-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-(2-methoxyethyl)semicarbazide,
1-(5-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-cyclopropylmethylsemicarbazide,
1-(5-bromothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-cyclopropylmethylsemicarbazide,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

8. Process for the preparation of compounds of the formula I according to Claims 1-7 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, characterised in that

a) a compound of the formula II



II

in which

R^1, R^2 and R^3 have the meaning indicated in Claim 1,

is reacted with a compound of the formula III

Het-CO-L III

in which

L denotes Cl, Br, I or a free or reactively functionally modified OH group, and

Het has the meaning indicated in Claim 1,

and/or

a base or acid of the formula I is converted into one of its salts.

9. Compounds of the formula I according to one or more of Claims 1 to 7 as inhibitors of coagulation factor Xa.

10. Compounds of the formula I according to one or more of Claims 1 to 7 as inhibitors of coagulation factor VIIa.

11. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios, and, if desired, excipients and/or adjuvants.

12. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.

13. Use of compounds according to Claims 1 to 7 and/or physiologically acceptable salts, salts and solvates thereof for the preparation of a medicament for the treatment of thrombosis, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases.

14. Set (kit) consisting of separate packs of

(a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios,

and

(b) an effective amount of a further medicament active ingredient.

15. Use of compounds of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios,
for the preparation of a medicament for the treatment of thrombosis, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases, in combination with at least one further medicament active ingredient.